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        DEC 09
NEWS
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     8 DEC 15
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     9 DEC 17
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                 alerts (SDIs) affected
NEWS
     10 DEC 17
                 COMPUAB reloaded; updating to resume; current-awareness
                 alerts (SDIs) affected
NEWS
    11 DEC 17
                 SOLIDSTATE reloaded; updating to resume; current-awareness
                 alerts (SDIs) affected
NEWS
     12 DEC 17
                 CERAB reloaded; updating to resume; current-awareness
                 alerts (SDIs) affected
                 THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB
NEWS
     13 DEC 17
     14 DEC 30
NEWS
                EPFULL: New patent full text database to be available on STN
NEWS
     15 DEC 30
                CAPLUS - PATENT COVERAGE EXPANDED
NEWS
    16 JAN 03
                No connect-hour charges in EPFULL during January and
                 February 2005
     17 JAN 26
                 CA/CAPLUS - Expanded patent coverage to include the Russian
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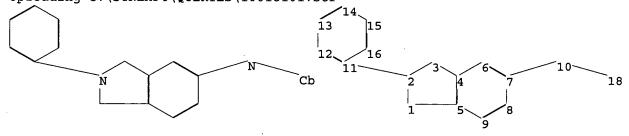
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chain nodes :

10 18

ring nodes :

1 2 3 4 5 67 11 12 1.3 14

chain bonds :

2-11 7-10 10-18

ring bonds :

1-2 1-5 2-3 3-4 4-5 4-6 5-9 6-7 7-8 8-9 11-12 11-16 12-13 13-14 14-15

exact/norm bonds :

1-2 2-3 2-11 7-10

exact bonds :

1-5 3-4 10-18

normalized bonds :

4-5 4-6 5-9 6-7 7-8 8-9 11-12 11-16 12-13 13-14 14-15 15-16

isolated ring systems :

containing 1 : 11 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS

11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 18:Atom

Generic attributes :

18:

Saturation : Unsaturated Number of Carbon Atoms : less than 7 Type of Ring System : Monocyclic

L1 STRUCTURE UPLOADED

=> dis 11

L1 HAS NO ANSWERS

L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sam

SAMPLE SEARCH INITIATED 15:33:14 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 340 TO ITERATE

100.0% PROCESSED 340 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 5694 TO 7906

PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 15:33:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 6518 TO ITERATE

100.0% PROCESSED 6518 ITERATIONS 85 ANSWERS

SEARCH TIME: 00.00.01

L3 85 SEA SSS FUL L1

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=> s 13

L4 10 L3

=> s 14 and pd<june 2000 20507149 PD<JUNE 2000 (PD<20000600)

L5 5 L4 AND PD<JUNE 2000

=> s 14 not 15

L6 5 L4 NOT L5

=> dis 16 1-5 bib abs

- L6 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
- AN 2003:438617 HCAPLUS
- DN 139:150016
- TI Synthesis and characterization of fluorinated poly(amide imide)s derived from 1,4-bis(2'-trifluoromethyl-4'-trimellitimidophenoxy)benzene and aromatic diamines
- AU Li, Z. X.; Fan, L.; Ge, Z. Y.; Wu, J. T.; Yang, S. Y.
- CS State Key Laboratory of Engineering Plastics and Advanced Polymer Materials Laboratory, Center for Molecular Sciences, Institute of Chemistry, Chinese Academy of Sciences, Beijing, 100080, Peop. Rep. China
- SO Journal of Polymer Science, Part A: Polymer Chemistry (2003), 41(12), 1831-1840
 CODEN: JPACEC; ISSN: 0887-624X
- PB John Wiley & Sons, Inc.
- DT Journal
- LA English
- AB A series of fluorinated poly(amide imide)s were prepared from 1,4-bis(2'-trifluoromethyl-4'-trimellitimidophenoxy)benzene and various aromatic diamines, i.e., 3,3',5,5'-tetramethyl-4,4'-diaminediphenylmethane,

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\alpha, \alpha-bis (4-amino-3,5-dimethyl phenyl)-3'-
     trifluoromethylphenylmethane, 1,4-bis(4'-amino-2'-
     trifluoromethylphenoxy)benzene, 4-(3'-trifluoromethylphenyl)-2,6-bis(3'-
     aminophenyl)pyridine, and 1,1-bis(4'-aminophenyl)-1-(3'-
     trifluoromethylphenyl)-2,2,2-trifluoroethane. The fluorinated poly(amide
     imide)s, prepared by a one-step polycondensation procedure, had good solubility
     both in strong aprotic solvents, such as N-methyl-2-pyrrolidinone,
     dimethylacetamide, DMF, DMSO, and cyclopentanone, and in common organic
     solvents, such as THF and m-cresol. Strong and flexible polymer films
     with tensile strengths of 84-99 MPa and ultimate elongation values of 6-9%
     were prepared by the casting of polymer solns. onto glass substrates,
     followed by thermal baking. The poly(amide imide) films exhibited high
     thermal stability, with glass transition temps. of 257°-266°
     and initial thermal decomposition temps. >540°. The polymer films also
     had good dielec. properties, with dielec. consts. of 3.26-3.52 and
     dissipation factors of 3.0-7.7 + 10-3, and acceptable elec.
     insulating properties. The balance of excellent solubility and thermal
     stability associated with good mech. and elec. properties made the poly(amide
     imide)s potential candidates for practical applications in the
     microelectronics industry and other related fields.
              THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 35
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
     2003:319884 HCAPLUS
     138:338173
     Preparation of lactam derivatives as antagonists for human 11CBy receptors
     Armstrong, Sula Anne; Hamprecht, Dieter Wolfgang; Jones, Martin; Witty,
     David Richard; Al-Barazanji, Kamal A.; Tadayyon, Mohammad
     SmithKline Beecham PLC, UK; SmithKline Beecham Corporation
     PCT Int. Appl., 87 pp.
     CODEN: PIXXD2
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DT Patent English LA

FAN.CNT 2

L6 AN

DN

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IN

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so

	PATENT	NO.		KIND DATE			2	APPL:				DATE				
ΡI	WO 2003033480			A1 20030424			1	WO 20		JS321		20021015				
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		LS, LT	, LU,	LV,	MA, M	ID, MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
		PL, PT	, RO,	RU,	SD, S	E, SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,	
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		KG, KZ	, MD,	RU,	TJ, T	M, AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
		FI, FR	, GB,	GR,	IE, I	T, LU,	MC,	NL,	PT,	SE,	SK,	TR,	BF,	ВJ,	CF,	
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		IE, SI	, LT,	LV,	FI, R	O, MK,	CY,	AL,	TR,	BG,	CZ,	EE,	SK			
	BR 2002013242 RAI GB 2001-24627			A 20040928			1	13242		20021015						
PRAI				A 20011015												
	WO 2002	-US3274	כ	W	20											
OS GI	MARPAT	MARPAT 138:338173														

$$R^3Z-QY$$

$$R^6n$$
 I

AB The invention thus provides lactams (shown as I; variables defined below; e.g. 2-[3-methoxy-4-(2-pyrrolidin-1-ylethoxy)phenyl]-5phenylaminoisoindole-1,3-dione), a salt, or solvate thereof. antagonists of the melanin concentrating hormone receptor 1 (MCHR1 or 11CBy). Several methods of preparation are claimed and .apprx.80 example prepns. of I are included. For example, 2-[4-(2-diisopropylaminoethoxy)-3methoxyphenyl]-5-phenylisoindole-1,3-dione was prepared starting from 4-bromophthalic anhydride and 4-(2-diisopropylaminoethoxy)-3methoxyphenylamine in CH2Cl2 in the presence of pyridine and catalytic 4-dimethylaminopyridine to give the intermediate 5-bromo-2-[4-(2diisopropylaminoethoxy) - 3 - methoxyphenyl] isoindole - 1, 3 - dione trifluoroacetate, which was coupled with phenylboronic acid in PhH/EtOH/aqueous Na2CO3 in the presence of Pd(PPh3)4 to give the desired I. For I: M = O, S, C(O), NH and CH2; L = 2- or 3-membered alkylene chain; wherein together M-L may be optionally substituted by at least one Me, Et, hydroxy and C1-3 alkoxy. (i) R1 and R2 = H, C1-6 straight or branched alkyl which may be optionally substituted by Ph, and C3-6 cycloalkyl optionally substituted by ≥1 C1-6-alkyl groups; or (ii) R1 and R2 together with the N atom to which they are bonded form a 4-8 membered heterocyclic ring or a 7-10 membered bicyclic heterocyclic ring containing 1-4 heteroatoms = N, S, and O, wherein said 4-8 membered heterocyclic ring and said 7-10 membered bicyclic heterocyclic ring are optionally substituted with a substituent Ph and from 1-4 C1-3 alkyl. Each R6 = hydroxy, C1-2-alkyl, C1-3-alkoxy, halo, C2-3alkenyl, benzyl, and -C(Ra)NORb, wherein Ra and Rb = H, Me, methoxymethyl, methoxymethoxy, and methoxyethoxy and n = 1-4. QY is a bicyclic fused heterocyclic ring wherein Q and Y are each ring of said bicyclic fused heterocyclic group, wherein said Y ring contains = 1-3 nitrogens and is bound to the Ph ring via a N atom, and said Q ring is a 5- or 6-membered aryl or heterocyclic ring having a group ZR3; Z is bound to the Q ring; Z = a direct bond, NH, NCH3, O, S, and CH2; and R3 = (un)substituted aryl, alk-2-en-1-yl, cycloalkyl and cycloalk-2-en-1-yl; addnl. details are given in the claims. Examples given show a pKi in binding to the 353 form of the 11CBy receptor of >6; the most potent examples have a pKi in the range 7.5-8, for example 2-[3-methoxy-4-(2-pyrrolidin-1-ylethoxy)phenyl]-5-phenylaminoisoindole-1,3dione, 3-[3-methoxy-4-(2-pyrrolidin-1-ylethoxy)phenyl]-7-phenyl-3Hbenzo[d][1,2,3]triazin-4-one and 3-[3-methoxy-4-(2-pyrrolidin-1ylethoxy)phenyl]-6-phenyl-3H-thieno[3,2-d]pyrimidin-4-one. The effects of 2-[3-methoxy-4-(2-pyrrolidin-1-ylethoxy)phenyl]-5-phenoxyisoindole-1,3dione hydrochloride on plasma glucagon and blood glucose levels were studied.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L6 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
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AN 2002:146398 HCAPLUS

DN 137:33101

TI A simple and efficient synthesis of 2-anilinobenzoic acids

AU Chen, M. H.; Beylin, V. G.; Iakovleva, E.; Kesten, S. J.; Magano, J.; Vrieze, D.

CS Pfizer Global Research and Development, Ann Arbor, MI, 48105, USA

SO Synthetic Communications (2002), 32(3), 411-417

CODEN: SYNCAV; ISSN: 0039-7911

PB Marcel Dekker, Inc. DT Journal LA English CASREACT 137:33101 os AB A new method for the synthesis of 2-anilinobenzoic acids is presented, with 2-fluorobenzoic acids and anilines as starting materials. Several exptl. conditions as well as the factors influencing the outcome of the reaction are described. RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN L6 2000:900612 HCAPLUS AN 134:56565 DN Method of inhibiting amyloid protein aggregation, treating Alzheimer's ΤI disease, and imaging amyloid deposits using isoindoline derivatives Augelli-Szafran, Corinne Elizabeth; Lai, Yingjie; Sakkab, Annette Theresa; IN Walker, Lary Craswell PA Warner-Lambert Co., USA so PCT Int. Appl., 61 pp. CODEN: PIXXD2 DT Patent English LA FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE --------------20000531 ΡI WO 2000076969 **A1** 20001221 WO 2000-US15073 W: AE, AG, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG CA 2373394 AA 20001221 CA 2000-2373394 20000531 AU 2000053120 Α5 20010102 AU 2000-53120 20000531 AU 777747 B2 20041028 BR 2000011446 20020319 BR 2000-11446 Α 20000531 EP 1192131 20020403 EP 2000-938023 A1 20000531 EP 1192131 20040804 В1 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO TR 200200257 **T2** 20020621 TR 2002-200200257 20000531 JP 2003502313 T2 20030121 JP 2001-503829 20000531 EE 200100666 Α 20030217 EE 2001-666 20000531 NZ 515619 Α 20030530 NZ 2000-515619 20000531 AT 272623 E 20040815 AT 2000-938023 20000531 ZA 2001009164 Α 20030206 ZA 2001-9164 20011106 NO 2001005992 Α 20020206 NO 2001-5992 20011207 BG 106291 Α 20020531 BG 2002-106291 20020109 PRAI US 1999-138543P P 19990610 WO 2000-US15073 W 20000531 os MARPAT 134:56565 GI

The invention provides a method of treating Alzheimer's disease using AΒ compds. I and their pharmaceutically acceptable salts [wherein: X = (un) substituted Ph; Y = (un) substituted Ph or (un) substituted pyridyl; substituents = (0-4 per ring) alkoxy, halo, alkyl, Ph, (un)substituted carbamoyl, CO2H, CO2R1, NO2, CF3, cyano, NR1R2, tetrazole, etc.; R1, R2 = H, C1-6 alkyl]. Also provided is a method of inhibiting the aggregation of amyloid proteins using I, and a method of imaging amyloid deposits using I. Claims further include compds. I, and pharmaceutical compns. containing I. Examples include 26 synthetic examples and 4 bioassays. instance, title compound II was prepared by a sequence of: (1) imidation of 3-chloroaniline with 5-nitroisobenzofuran-1,3-dione (81%); (2) reduction of nitro to amino (99%); (3) reduction of the dione functions with AlCl3-LiAlH4 (58%), and (4) reaction with LiN(SiMe3)2 and 2-fluorobenzoic acid in THF (23%). In an assay for inhibition of self-seeded amyloid fibril growth, II had an IC50 of 1.1 µM. A combinatorial methodol. for preparation of I is also described.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:900433 HCAPLUS

DN 134:56480

TI Method of inhibiting amyloid protein aggregation, treating Alzheimer's disease, and imaging amyloid deposits using [[(phenylalkyl)phenyl]amino]be nzoic acids and analogs

IN Augelli-Szafran, Corinne Elizabeth; Barvian, Mark Robert; Bigge, Christopher Franklin; Glase, Shelly Ann; Hachiya, Shunichiro; Keily, John Steven; Kimura, Takenori; Lai, Yingjie; Sakkab, Annette Theresa; Suto, Mark James; Walker, Lary Craswell; Yasunaga, Tomoyuki; Zhuang, Nian

PA Warner-Lambert Company, USA; Yamanouchi Pharmaceutical Company, Ltd.; et al.

SO PCT Int. Appl., 135 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.					KIND		DATE			APPL	ICAT	DATE					
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			CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG			
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	BR 2000011728			Α		2002	0226		BR 2	000-	20000531							
	EP 1225886				A2		2002	0731		EP 2	000-	20000531						

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	US	2002	-961	1		A 3	:	2002	0520										
OS GI	MAF	RPAT	134:	56480)														
GI																			

AB The invention provides a method of treating Alzheimer's disease using compds. I and their pharmaceutically acceptable salts [wherein: R = H, alkyl, alkanoyl; n = 0-5; R1-R7 = H, halo, OH, (un) substituted NH2 or cyclic amino, CO2H or derivs., NO2, alkoxy, CF3, cyano, (un) substituted OPh, etc.; or R1R2 = OCH2O; R8 = CO2H, tetrazolyl, SO2R9, CONHSO2R9; R9 = H, alkyl, CF3, or Ph; A = CH or N]. Also provided is a method of inhibiting the aggregation of amyloid proteins using I, and a method of imaging amyloid deposits, as well as new compds. Claims further include pharmaceutical formulations containing I. Examples include 163 synthetic examples and 4 bioassays. For instance, title compound II was prepared by a sequence of: (1) reaction of 4-(bromomethyl)-1,2-dichlorobenzene with PPh3 to give a bromophosphorane (i.e., phosphonium salt) (78%); (2) Swern oxidation of 4-(4-nitrophenyl)butan-1-ol to the aldehyde (65%); (3) Wittig reaction of the above 2 products to give an alkene (99%); (4) hydrogenation of the alkene and nitro functions (46%); and (5) lithiation and coupling of the amine with 2-fluoro-5-nitrobenzoic acid (75%). In an assay for inhibition of self-seeded amyloid fibril growth, II had an IC50 of 0.9 μM . A combinatorial methodol. for preparation of I is also described.

Ι

=> dis 15 1-5 bib abs hitstr ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN L5 AN 1996:181035 HCAPLUS DN 124:233314 New poly(amide-imide)s syntheses. XVII. Preparation and properties of ΤI poly(amide-imide)s derived from 3,3-bis[4-(4-aminophenoxy)phenyl]phthalimi dine and various bis(trimellitimide)s ΑU Lin, Jiun-Hung; Yang, Chin-Ping CS Dep. Chem. Eng., Tatung Inst. Technology, Taipei, Taiwan SO Journal of Polymer Science, Part A: Polymer Chemistry (1996), 34(5), 747-54 CODEN: JPACEC; ISSN: 0887-624X PR Wiley DT Journal LA English AB A series of novel bis(phenoxy)phthalimidine-containing poly(amide-imide)s were synthesized by the direct polycondensation of 3,3-bis[4-(4aminophenoxy) phenyl] phthalimidine (BAPP) with various aromatic bis(trimellitimide)s in N-methyl-2-pyrrolidone (NMP) using tri-Ph phosphite and pyridine as condensing agents. The poly(amide-imide)s, have inherent viscosity up to 1.36 dL/g and were obtained in quant. yields. All resulting polymers showed an amorphous nature and were readily soluble in polar solvents such as NMP and N,N-dimethylacetamide. All the soluble poly(amide-imide)s afforded transparent, flexible, and tough films. The glass transition temperature of the polyamides was 267-322° and the 10% weight loss temperature was above 490° in nitrogen. Some properties of poly(amide-imide)s were compared with those of the corresponding isomeric poly(amide-imide)s prepared from 3,3-[4-(4-trimellitimidophenoxy)phenyl]phth alimidine and various aromatic diamines., 168981-50-8P, 3,3-Bis[4-(4-trimellitimidophenoxy)phenyl]-1-IT oxoisoindoline-4,4'-oxydia niline copolymer, sru RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and Tq and morphol. and film toughness of poly(amide-imide)s from bis[(aminophenoxy)phenyl]phthalimidine and bis(trimellitimide)s) 168981-50-8 HCAPLUS RN Poly[(1,3-dihydro-1,3-dioxo-2H-isoindole-5,2-diyl)-1,4-phenyleneoxy-1,4-CN

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

phenyleneoxy-1,4-phenyleneiminocarbonyl] (9CI) (CA INDEX NAME)

phenylene (2,3-dihydro-3-oxo-1H-isoindol-1-ylidene) -1,4-phenyleneoxy-1,4-phenylene (1,3-dihydro-1,3-dioxo-2H-isoindole-2,5-diyl) carbonylimino-1,4-

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- L5 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
- AN 1995:819403 HCAPLUS
- DN 123:257638
- TI New poly(amide-imide)s syntheses. 14. Preparation and properties of poly(amide-imide)s based on 3,3-bis[4-(4-trimellitimidophenoxy)phenyl]-1-oxoisoindoline
- AU Yang, Chin-Ping; Lin, Jiun-Hung
- CS Department of Chemical Engineering, Tatung Institute of Technology, Taichung, Peop. Rep. China
- SO Macromolecular Chemistry and Physics (1995), 196(9), 2979-88 CODEN: MCHPES; ISSN: 1022-1352
- PB Huethig & Wepf
- DT Journal
- LA English
- AB An imide ring-containing dicarboxylic acid, 3,3-bis[4-(4-trimellitimidophenoxy)phenyl]-1-oxoisoindoline, was prepared via condensation of 3,3-bis[4-(4-aminophenoxy)phenyl]-1-oxoisoindoline and trimellitic anhydride. A series of aromatic bis(phenoxy)-1-oxo-isoindoline-containing poly(amide-imide)s were prepared via direct polycondensation of this di-imide-diacid with various aromatic diamines using tri-Ph phosphite and pyridine as condensing agents in N-methyl-2-pyrrolidone (NMP) in the presence of calcium chloride. Most of the resulting polymers are amorphous and readily soluble in polar solvents such as NMP and N,N-dimethylacetamide. All soluble poly(amide-imide)s afford transparent, flexible, and tough films. The glass transition temperature of the polymers is 267-305° and they show almost no weight loss up to 450° during heating under nitrogen atmospheric The properties of 1-oxoisoindoline containing

poly(amide-imide)s are compared with those of the corresponding analogous
poly(amide-imide)s derived from 3,3-bis[4-(4-trimellitimidophenoxy)phenyl]
phthalide.

IT 168981-50-8P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and solubility and thermal stability of polyamide-imides containing

trimellitimidophenoxy-Ph oxoisoindoline)

- RN 168981-50-8 HCAPLUS
- CN Poly[(1,3-dihydro-1,3-dioxo-2H-isoindole-5,2-diyl)-1,4-phenyleneoxy-1,4-phenylene(2,3-dihydro-3-oxo-1H-isoindol-1-ylidene)-1,4-phenyleneoxy-1,4-phenylene(1,3-dihydro-1,3-dioxo-2H-isoindole-2,5-diyl)carbonylimino-1,4-phenyleneoxy-1,4-phenyleneiminocarbonyl] (9CI) (CA INDEX NAME)
- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

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L5 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
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AN 1994:207904 HCAPLUS

DN 120:207904

TI Dianilinophthalimides: Potent and Selective, ATP-Competitive Inhibitors of the EGF-Receptor Protein Tyrosine Kinase

AU Trinks, Uwe; Buchdunger, Elisabeth; Furet, Pascal; Kump, Wilhelm; Mett, Helmut; Meyer, Thomas; Mueller, Marcel; Regenass, Urs; Rihs, Greti; et al.

CS Pharmaceuticals Division, Ciba-Geigy Limited, Basel, CH-4002, Switz.

SO Journal of Medicinal Chemistry (1994), 37(7), 1015-27 CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

GΙ

Dianilinophthalimides represent a novel class of inhibitors of the EGF receptor protein tyrosine kinase with a high degree of selectivity vs. other tyrosine and serine/threonine kinases. Steady-state kinetic anal. of 4,5-dianilinophthalimide (I), which showed potent inhibitory activity, revealed competitive type kinetics relative to ATP. Despite a highly sym. structure of I, x-ray studies revealed an unsym. propeller-shaped conformation of the mol. which differs clearly from that of the constitutionally related staurosporine aglycons. These conformational differences may explain the reversal of the selectivity profile of I relative to the staurosporine aglycons. In cellular assays I and 4,5--bis(4-fluoroanilino)phthalimide have been shown to inhibit EGF-induced receptor autophosphorylation, c-fos induction and EGF-dependent proliferation of Balb/c MK cells. This inhibition was selective as compds. had no effect on PDGF-induced receptor autophosphorylation and c-fos induction. Furthermore, I showed potent antitumor activity in vivo at well-tolerated doses.

IT 130672-98-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and EGF receptor protein tyrosine kinase inhibition by, structure in relation to)

RN 130672-98-9 HCAPLUS

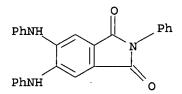
CN 1H-Isoindole-1,3(2H)-dione, 2-phenyl-5,6-bis(phenylamino)- (9CI) (CA INDEX NAME)

GI

L5 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN AN 1990:631138 HCAPLUS DN 113:231138 Reactions of 1,2-bis(trimethylsilyloxy)cyclohexenes with amines TI Matlin, Stephen A.; Barron, Kenneth AU Chem. Dep., City Univ., London, EC1V OHB, UK CS Journal of Chemical Research, Synopses (1990), (8), 246-7 SO CODEN: JRPSDC; ISSN: 0308-2342 DTJournal English LAOS CASREACT 113:231138

The use of the title reactions in the preparation of anilinophthalate, indole, and carbazole derivs. is described. Thus, bis(trimethylsilyloxy)dimethylc yclohexenedicarboxylate I, prepared by Diels-Alder reaction of di-Me maleate with MeCH:C(SiMe3)C(SiMe3):CHMe, was treated with PhNH2 and the resulting mixture of tetrahydrocarbazoles oxidized with chloranil to give 57% dimethylcarbazoledicarboxylate II.

RN 130672-98-9 HCAPLUS



L5 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1973:29741 HCAPLUS

DN 78:29741

TI Heterocycles from substituted amides. II. Novel behavior of a reactive thiophene in some cyclo- and acycloaddition reactions

AU Chupp, John P.

CS Agric. Div., Monsanto Co., St. Louis, MO, USA

SO Journal of Heterocyclic Chemistry (1972), 9(5), 1033-8 CODEN: JHTCAD; ISSN: 0022-152X

DT Journal

LA English

GI For diagram(s), see printed CA Issue.

AB N,N'-Diisopropyl-N,N'-diphenyl-2,4-thiophenediamine (I, R = H) (II) has demonstrated its remarkable electrondonating abilities and atypical behavior as a thiophene, by its reaction with electron deficient dienophiles. Thus, β-nitrostyrene, ethoxymethylenemalononitrile, diethyl azodicarboxylate, and dimethyl acetylenedicarboxylate underwent Michael-type addition at the C-5 of II to form adducts I [R = O2NCH2CHPh, (NC)2C:CH, EtO2CNHN(CO2Et), MeO2CCH:C(CO2Me)]. Alternatively, acrylonitrile, N-phenylmaleimide, and phenyl-1,2,4-triazoline-3,5-dione gave novel cyclic compds., (III, IV, and V) not necessarily arising from Diels-Alder addition

IT 39076-81-8P

RN 39076-81-8 HCAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 4,6-bis[(1-methylethyl)phenylamino]-2-phenyl-(9CI) (CA INDEX NAME)

=> log y COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 42.85 204.39

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL ENTRY SESSION

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